

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAJDA1614

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* \* \* \* \* Welcome to STN International \* \* \* \* \* \* \* \* \*

NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 JAN 12 Match STN Content and Features to Your Information  
Needs, Quickly and Conveniently  
NEWS 3 JAN 25 Annual Reload of MEDLINE database  
NEWS 4 FEB 16 STN Express Maintenance Release, Version 8.4.2, Is  
Now Available for Download  
NEWS 5 FEB 16 Derwent World Patents Index (DWPI) Revises Indexing  
of Author Abstracts  
NEWS 6 FEB 16 New FASTA Display Formats Added to USGENE and PCTGEN  
NEWS 7 FEB 16 INPADOCDB and INPAFAMDB Enriched with New Content  
and Features  
NEWS 8 FEB 16 INSPEC Adding Its Own IPC codes and Author's E-mail  
Addresses  
NEWS 9 APR 02 CAS Registry Number Crossover Limits Increased to  
500,000 in Key STN Databases  
NEWS 10 APR 02 PATDPAFULL: Application and priority number formats  
enhanced  
NEWS 11 APR 02 DWPI: New display format ALLSTR available  
NEWS 12 APR 02 New Thesaurus Added to Derwent Databases for Smooth  
Sailing through U.S. Patent Codes  
NEWS 13 APR 02 EMBASE Adds Unique Records from MEDLINE, Expanding  
Coverage back to 1948  
NEWS 14 APR 07 CA/CAplus CLASS Display Streamlined with Removal of  
Pre-IPC 8 Data Fields  
NEWS 15 APR 07 50,000 World Traditional Medicine (WTM) Patents Now  
Available in CAplus  
NEWS 16 APR 07 MEDLINE Coverage Is Extended Back to 1947

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,  
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN customer  
agreement. This agreement limits use to scientific research. Use  
for software development or design, implementation of commercial  
gateways, or use of CAS and STN data in the building of commercial  
products is prohibited and may result in loss of user privileges  
and other penalties.

\* \* \* \* \* \* \* \* \* STN Columbus \* \* \* \* \* \* \* \* \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:40:40 ON 07 JUN 2010

FILE 'REGISTRY' ENTERED AT 11:41:12 ON 07 JUN 2010  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JUN 2010 HIGHEST RN 1226953-63-4  
DICTIONARY FILE UPDATES: 3 JUN 2010 HIGHEST RN 1226953-63-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s dovitinib  
L1 2 DOVITINIB

$\Rightarrow d_{11-2}$

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 692737-80-7 REGISTRY  
ED Entered STN: 14 Jun 2004  
CN Propanoic acid, 2-hydroxy-, compd. with  
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-  
quinolinone (1:1) (CA INDEX NAME)

**OTHER CA INDEX NAMES:**

CN Propanoic acid, 2-hydroxy-, compd. with  
4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-  
quinolinone (1:1) (9CI)

OTHER NAMES:

CITIZEN TIMES.

CN Dovitinib lactate

CN DOVIECH  
CN TKT 258

CN IRI 250  
DB 1000873-96-0

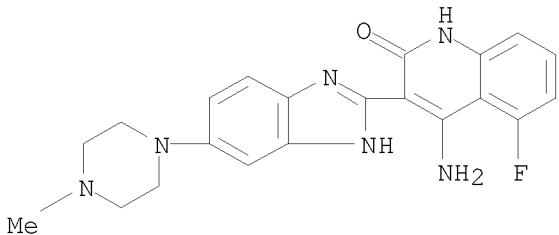
DR 1000873-98-0  
ME C31 H31 E N6 O C3 H6 O3

FF C2  
SP CA

SR CA  
LG CT

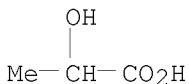
LC SII

CMF C21 H21 F N



CM 2

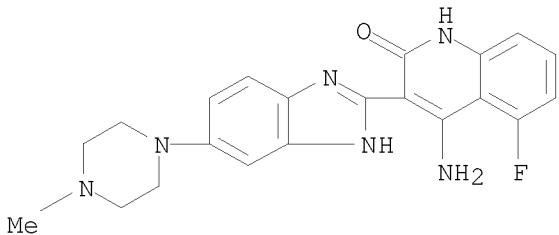
CRN 50-21-5  
CMF C3 H6 O3



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

68 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
69 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 405169-16-6 REGISTRY  
ED Entered STN: 12 Apr 2002  
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI)  
OTHER NAMES:  
CN 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one  
CN Dovitinib  
DR 804551-71-1  
MF C21 H21 F N6 O  
CI COM  
SR CA  
LC STN Files: ADISINSIGHT, CA, CAPLUS, CASREACT, CHEMCATS, EMBASE, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

26 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
26 REFERENCES IN FILE CAPLUS (1907 TO DATE)

FILE 'CAPLUS' ENTERED AT 11:41:33 ON 07 JUN 2010  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Jun 2010 VOL 152 ISS 24  
FILE LAST UPDATED: 6 Jun 2010 (20100606/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CPlus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 11  
L2 76 L1

=> s 12 and (cancer or tumor or neoplasm)  
458652 CANCER  
67289 CANCERS  
475156 CANCER  
                  (CANCER OR CANCERS)  
547410 TUMOR  
196404 TUMORS  
607096 TUMOR  
                  (TUMOR OR TUMORS)  
4892 TUMOUR  
1843 TUMOURS  
6616 TUMOUR  
                  (TUMOUR OR TUMOURS)  
607544 TUMOR  
                  (TUMOR OR TUMOUR)  
598060 NEOPLASM  
38884 NEOPLASMS

615483 NEOPLASM  
 (NEOPLASM OR NEOPLASMS)  
 L3 54 L2 AND (CANCER OR TUMOR OR NEOPLASM)

=> s 13 and ad<20031107  
 4779868 AD<20031107  
 (AD<20031107)  
 L4 3 L3 AND AD<20031107

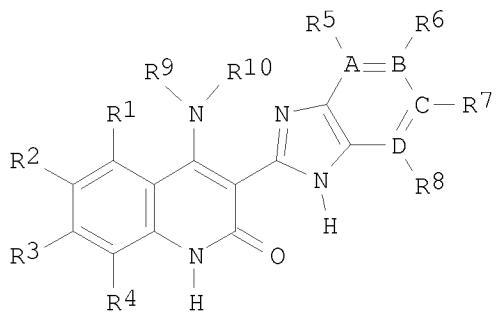
=> dup rem 14  
 PROCESSING COMPLETED FOR L4  
 L5 3 DUP REM L4 (0 DUPLICATES REMOVED)

=> d 15 1-3 ibib abs hitstr

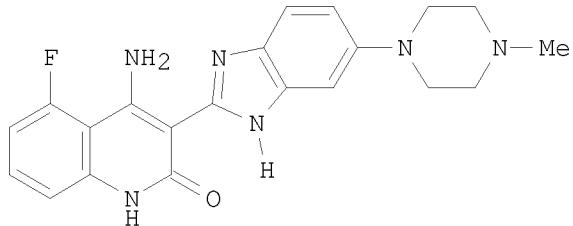
L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:1242789 CAPLUS  
 DOCUMENT NUMBER: 143:477969  
 TITLE: Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma  
 INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang  
 PATENT ASSIGNEE(S): Chiron Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050261307	A1	20051124	US 2004-983174	20041105
US 20040092535	A1	20040513	US 2003-644055	20030819 <--
US 7470709	B2	20081230		
CN 1692112	A	20051102	CN 2003-824565	20030819 <--
CN 100526312	C	20090812		
US 20050203101	A1	20050915	US 2004-839793	20040505
ZA 2006003598	A	20080430	ZA 2006-3598	20060505
US 20090281100	A1	20091112	US 2008-317493	20081223
US 20090181979	A1	20090716	US 2009-398130	20090304
AU 2009238373	A1	20091217	AU 2009-238373	20091120
PRIORITY APPLN. INFO.:				
			US 2002-405729P	P 20020823
			US 2002-426107P	P 20021113
			US 2002-426226P	P 20021113
			US 2002-426282P	P 20021113
			US 2002-428210P	P 20021121
			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-478916P	P 20030616
			US 2003-484048P	P 20030701
			US 2003-644055	A2 20030819
			US 2003-517915P	P 20031107
			US 2003-526425P	P 20031202
			US 2003-526426P	P 20031202
			US 2004-546017P	P 20040219
			US 2002-426204P	P 20021113
			US 2003-460369P	P 20030403
			AU 2003-290699	A3 20031112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 143:477969  
 GI



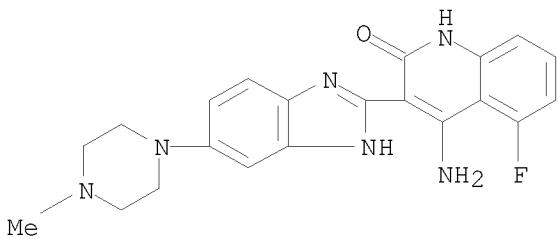
I



II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO<sub>2</sub>, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO<sub>2</sub>, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC<sub>50</sub> of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC<sub>50</sub> values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC<sub>50</sub> values of less than 1 μM. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

IT 405169-16-6P 692737-80-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)  
 RN 405169-16-6 CAPLUS  
 CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



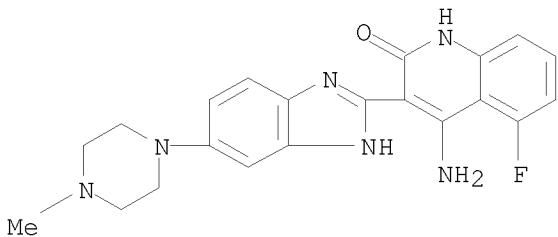
RN 692737-80-7 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with  
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-  
quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

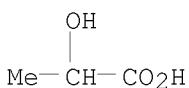
CMF C21 H21 F N6 O



CM 2

CRN 50-21-5

CMF C3 H6 O3



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1223876 CAPLUS

DOCUMENT NUMBER: 143:477966

TITLE: Preparation of benzimidazole quinolinones for  
inhibiting a checkpoint kinase 1 and their use in  
combination therapy for cancer

INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison,  
Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou,  
Yasheen; Le, Vincent P.

PATENT ASSIGNEE(S): Chiron Corporation, USA  
SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S.  
Ser. No. 644,055.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

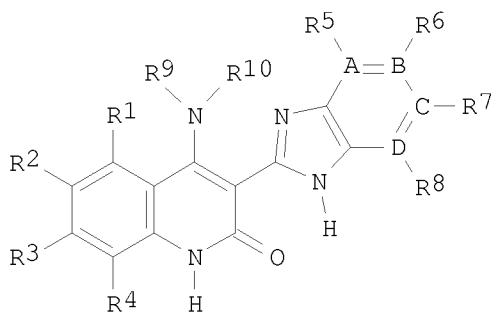
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050256157	A1	20051117	US 2005-41191	20050121
US 20040092535	A1	20040513	US 2003-644055	20030819 <--
US 7470709	B2	20081230		
CN 1692112	A	20051102	CN 2003-824565	20030819 <--
CN 100526312	C	20090812		
US 20050203101	A1	20050915	US 2004-839793	20040505
US 20090281100	A1	20091112	US 2008-317493	20081223
AU 2009238373	A1	20091217	AU 2009-238373	20091120
PRIORITY APPLN. INFO.:			US 2002-405729P	P 20020823
			US 2002-426107P	P 20021113
			US 2002-426226P	P 20021113
			US 2002-426282P	P 20021113
			US 2002-428210P	P 20021121
			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-478916P	P 20030616
			US 2003-484048P	P 20030701
			US 2003-644055	A2 20030819
			US 2004-538984P	P 20040123
			US 2002-426204P	P 20021113
			US 2003-460369P	P 20030403
			US 2003-517915P	P 20031107
			AU 2003-290699	A3 20031112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:477966; MARPAT 143:477966

GI



AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO<sub>2</sub>, etc.; R2, R3 = H, halo, NO<sub>2</sub>, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocycl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO<sub>2</sub>, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-

2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC<sub>50</sub> of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC<sub>50</sub> of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC<sub>50</sub> values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC<sub>50</sub> values of less than 1 μM. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

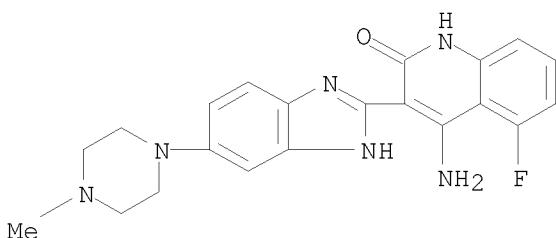
IT 405169-16-6P 692737-80-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



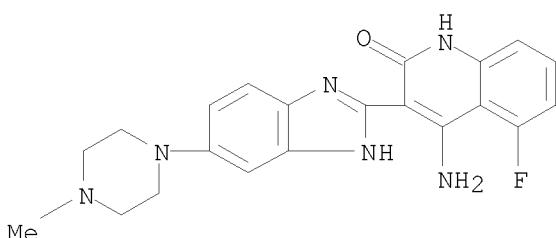
RN 692737-80-7 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

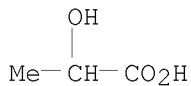
CMF C21 H21 F N6 O



CM 2

CRN 50-21-5

CMF C3 H6 O3



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

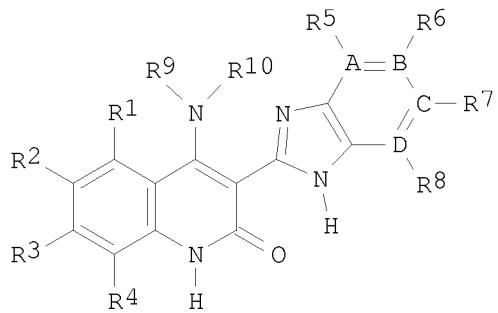
L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2004:182836 CAPLUS  
 DOCUMENT NUMBER: 140:235711  
 TITLE: Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase  
 INVENTOR(S): Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; McBride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion  
 PATENT ASSIGNEE(S): Chiron Corporation, USA  
 SOURCE: PCT Int. Appl., 570 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018419	A2	20040304	WO 2003-US25990	20030819 <--
WO 2004018419	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496164	A1	20040304	CA 2003-2496164	20030819 <--
AU 2003288899	A1	20040311	AU 2003-288899	20030819 <--
AU 2003288899	B2	20090903		
EP 1539754	A2	20050615	EP 2003-781286	20030819 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013743	A	20050705	BR 2003-13743	20030819 <--
CN 1692112	A	20051102	CN 2003-824565	20030819 <--
CN 100526312	C	20090812		
JP 2006503919	T	20060202	JP 2005-501762	20030819 <--
IN 2005KN00484	A	20060106	IN 2005-KN484	20050323
AU 2009238373	A1	20091217	AU 2009-238373	20091120
PRIORITY APPLN. INFO.:				
		US 2002-405729P	P	20020823
		US 2002-426107P	P	20021113
		US 2002-426226P	P	20021113
		US 2002-426282P	P	20021113
		US 2002-428210P	P	20021121
		US 2003-460327P	P	20030403
		US 2003-460328P	P	20030403

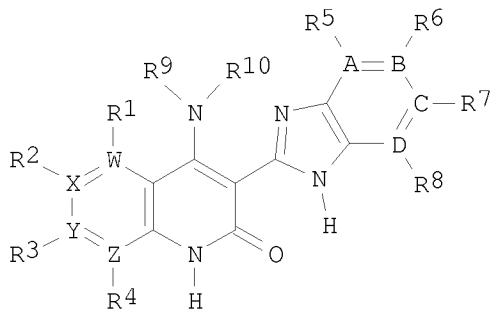
US 2003-460493P	P 20030403
US 2003-478916P	P 20030616
US 2003-484048P	P 20030701
US 2002-426204P	P 20021113
US 2003-460369P	P 20030403
WO 2003-US25990	W 20030819
US 2003-517915P	P 20031107
AU 2003-290699	A3 20031112

OTHER SOURCE(S):  
GI

MARPAT 140:235711



I



II

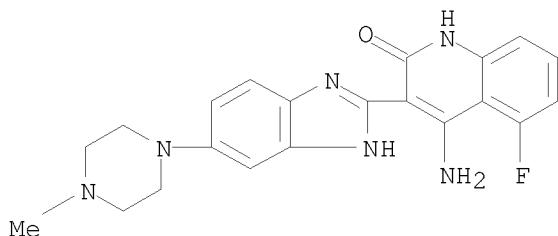
AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO<sub>2</sub>, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared. E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC<sub>50</sub> of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC<sub>50</sub> values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC<sub>50</sub> values of less than 1 μM.

IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 CAPLUS  
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)

=> d his

(FILE 'HOME' ENTERED AT 11:40:40 ON 07 JUN 2010)

FILE 'REGISTRY' ENTERED AT 11:41:12 ON 07 JUN 2010  
L1 2 S DOVITINIB

FILE 'CAPLUS' ENTERED AT 11:41:33 ON 07 JUN 2010  
L2 76 S L1  
L3 54 S L2 AND (CANCER OR TUMOR OR NEOPLASM)  
L4 3 S L3 AND AD<20031107  
L5 3 DUP REM L4 (0 DUPLICATES REMOVED)

=> file medline embase biosis

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	30.17	40.58
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.55	-2.55

FILE 'MEDLINE' ENTERED AT 11:45:31 ON 07 JUN 2010

FILE 'EMBASE' ENTERED AT 11:45:31 ON 07 JUN 2010  
Copyright (c) 2010 Elsevier B.V. All rights reserved.

FILE 'BIOSIS' ENTERED AT 11:45:31 ON 07 JUN 2010  
Copyright (c) 2010 The Thomson Corporation

=> s 11 or 11<chem>

SmartSELECT INITIATED  
New TRANSFER and ANALYZE Commands Now Available  
See HELP TRANSFER and HELP ANALYZE for Details

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	3.33	43.91
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

CA SUBSCRIBER PRICE 0.00 -2.55

FILE 'REGISTRY' ENTERED AT 11:45:39 ON 07 JUN 2010  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2010 American Chemical Society (ACS)

SET SMARTSELECT ON  
SET COMMAND COMPLETED

SEL L1 1- CHEM  
L6 SEL L1 1- CHEM : 9 TERMS

SET SMARTSELECT OFF  
SET COMMAND COMPLETED

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.49	59.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.55

FILE 'MEDLINE' ENTERED AT 11:45:40 ON 07 JUN 2010

FILE 'EMBASE' ENTERED AT 11:45:40 ON 07 JUN 2010  
Copyright (c) 2010 Elsevier B.V. All rights reserved.

FILE 'BIOSIS' ENTERED AT 11:45:40 ON 07 JUN 2010  
Copyright (c) 2010 The Thomson Corporation

S L1 OR L6

L8 126 L1 OR L7

=> s 18 and pd<20031107  
1 FILES SEARCHED...

L9 5 L8 AND PD<20031107

=> dup rem 19  
PROCESSING COMPLETED FOR L9  
L10 5 DUP REM L9 (0 DUPLICATES REMOVED)

=> d 110 1-5 ibib abs

L10 ANSWER 1 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003373828 EMBASE  
TITLE: Anti-cancer drug discovery and development summit.  
AUTHOR: Blakey, David C. (correspondence)  
CORPORATE SOURCE: AstraZeneca, Alderley Park, Macclesfield, Cheshire SK10 4TF, United Kingdom. david.blakey@astrazeneca.com  
SOURCE: Expert Opinion on Investigational Drugs, (1 Sep 2003) Vol. 12, No. 9, pp. 1577-1582.  
Refs: 15  
ISSN: 1354-3784 CODEN: EOIDER  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)  
FILE SEGMENT: 016 Cancer

030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles

LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 2 Oct 2003  
Last Updated on STN: 2 Oct 2003

AB The 5th Annual Anti-Cancer Drug Discovery and Development Summit brought together an international group of academic and industry scientists to discuss recent therapeutic developments in the field of oncology. The focus of the meeting was novel targeted approaches, i.e., those agents directed against targets that are overexpressed or overactive in tumour cells. It was acknowledged that cytotoxic agents will continue to play a key role in the treatment of cancer and new developments in this area were also discussed. With over 400 anticancer drugs in clinical development and a number of recent registrations, there is great optimism that significant therapeutic advances can be made.

L10 ANSWER 2 OF 5 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN  
ACCESSION NUMBER: 2003:501918 BIOSIS  
DOCUMENT NUMBER: PREV200300498316

TITLE: Preclinical pharmacokinetics and metabolism of CHIR258, a potent tyrosine kinase inhibitor.  
AUTHOR(S): Vora, Jayesh [Reprint Author]; Haroldsen, Peter [Reprint Author]; Renhowe, Paul [Reprint Author]; Heise, Carla [Reprint Author]; Steigerwalt, Ronald [Reprint Author]; Todd, Marque [Reprint Author]; Harris, Alex [Reprint Author]; Samara, Emil [Reprint Author]  
CORPORATE SOURCE: Chiron Corporation, Emeryville, CA, USA  
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (July 2003) Vol. 44, pp. 753.  
print.  
Meeting Info.: 94th Annual Meeting of the American Association for Cancer Research. Washington, DC, USA. July 11-14, 2003.  
ISSN: 0197-016X.  
DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)  
LANGUAGE: English  
ENTRY DATE: Entered STN: 29 Oct 2003  
Last Updated on STN: 29 Oct 2003

L10 ANSWER 3 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN  
ACCESSION NUMBER: 2003363876 EMBASE  
TITLE: American Association for Cancer Research - 9th Annual Meeting: Investigating drugs: 11-14 July 2003, Washington, DC, USA.  
AUTHOR: Mackay, Janie (correspondence); Williams, Laura  
CORPORATE SOURCE: Thomson Current Drugs, Middlesex House, 34-42 Cleveland Street, London W1T 4JE, United Kingdom. laura.williams@current-drugs.com; janie.mackay@current-drugs.com  
SOURCE: IDrugs, (1 Aug 2003) Vol. 6, No. 8, pp. 736-738.  
ISSN: 1369-7056 CODEN: IDRUFN  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)  
FILE SEGMENT: 016 Cancer  
030 Clinical and Experimental Pharmacology  
036 Health Policy, Economics and Management  
037 Drug Literature Index  
038 Adverse Reactions Titles  
052 Toxicology

LANGUAGE: English  
ENTRY DATE: Entered STN: 25 Sep 2003  
Last Updated on STN: 25 Sep 2003

L10 ANSWER 4 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN  
ACCESSION NUMBER: 2003481481 EMBASE  
TITLE: The impact of anti-angiogenic agents on cancer therapy.  
AUTHOR: Marme, Dieter (correspondence)  
CORPORATE SOURCE: Tumor Biology Center, Institute of Molecular Oncology, Breisacherstrasse 117, 79106 Freiburg, Germany. marme@tumor.bio.uni-freiburg.de  
SOURCE: Journal of Cancer Research and Clinical Oncology, (Nov 2003) Vol. 129, No. 11, pp. 607-620.  
Refs: 89  
ISSN: 0171-5216 CODEN: JCROD7  
COUNTRY: Germany  
DOCUMENT TYPE: Journal; General Review; (Review)  
FILE SEGMENT: 016 Cancer  
030 Clinical and Experimental Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LANGUAGE: English  
ENTRY DATE: Entered STN: 29 Dec 2003  
Last Updated on STN: 29 Dec 2003

L10 ANSWER 5 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN  
ACCESSION NUMBER: 2003276961 EMBASE  
TITLE: Kinases - SMi Conference 9-10 April 2003, London, UK.  
AUTHOR: Harrison, Ruth (correspondence)  
CORPORATE SOURCE: Thomson Current Drugs, Middlesex House, 34-42 Cleveland Street, London W1T 4LB, United Kingdom. ruth.harrison@current-drugs.com  
SOURCE: IDrugs, (1 Jun 2003) Vol. 6, No. 6, pp. 560-562.  
ISSN: 1369-7056 CODEN: IDRUFN  
COUNTRY: United Kingdom  
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)  
FILE SEGMENT: 029 Clinical and Experimental Biochemistry  
030 Clinical and Experimental Pharmacology  
031 Arthritis and Rheumatism  
037 Drug Literature Index  
LANGUAGE: English  
SUMMARY LANGUAGE: English  
ENTRY DATE: Entered STN: 24 Jul 2003  
Last Updated on STN: 24 Jul 2003

AB Dr. Moss briefly summed up the conference by describing the growth in the development of kinase research over the years and the commitment being invested by companies aiming to find effective screening strategies. He closed the day by remarking on the new challenge for researchers of turning the concepts discussed into successful drugs.

=> d his

(FILE 'HOME' ENTERED AT 11:40:40 ON 07 JUN 2010)

FILE 'REGISTRY' ENTERED AT 11:41:12 ON 07 JUN 2010  
L1 2 S DOVITINIB

FILE 'CAPLUS' ENTERED AT 11:41:33 ON 07 JUN 2010  
L2 76 S L1

L3           54 S L2 AND (CANCER OR TUMOR OR NEOPLASM)  
L4           3 S L3 AND AD<20031107  
L5           3 DUP REM L4 (0 DUPLICATES REMOVED)

FILE 'MEDLINE, EMBASE, BIOSIS' ENTERED AT 11:45:31 ON 07 JUN 2010

FILE 'REGISTRY' ENTERED AT 11:45:39 ON 07 JUN 2010  
SET SMARTSELECT ON  
L6           SEL L1 1- CHEM :         9 TERMS  
SET SMARTSELECT OFF

FILE 'MEDLINE, EMBASE, BIOSIS' ENTERED AT 11:45:40 ON 07 JUN 2010  
L7           126 S L6  
L8           126 S L1 OR L7  
L9           5 S L8 AND PD<20031107  
L10          5 DUP REM L9 (0 DUPLICATES REMOVED)

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	22.50	81.90
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.55

STN INTERNATIONAL LOGOFF AT 11:47:31 ON 07 JUN 2010